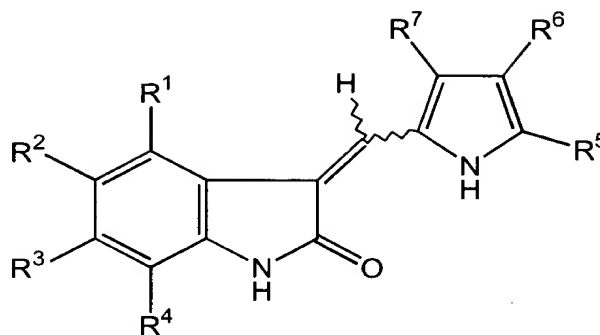


WHAT IS CLAIMED:

1. A compound of Formula (I):



(I)

wherein:

R<sup>1</sup> is selected from the group consisting of hydrogen, halo, alkyl, cycloalkyl, aryl, heteroaryl, heteroalicyclic, hydroxy, alkoxy,  $-(CO)R^{15}$ ,  $-NR^{13}R^{14}$ ,  $-(CH_2)_rR^{16}$  and  $-C(O)NR^8R^9$ ;

R<sup>2</sup> is selected from the group consisting of hydrogen, halo, alkyl, trihalomethyl, hydroxy, alkoxy, cyano,  $-NR^{13}R^{14}$ ,  $-NR^{13}C(O)R^{14}$ ,  $-C(O)R^{15}$ , aryl, heteroaryl, and  $-S(O)_2NR^{13}R^{14}$ ;

R<sup>3</sup> is selected from the group consisting of hydrogen, halogen, alkyl, trihalomethyl, hydroxy, alkoxy,  $-(CO)R^{15}$ ,  $-NR^{13}R^{14}$ , aryl, heteroaryl,  $-NR^{13}S(O)_2R^{14}$ ,  $-S(O)_2NR^{13}R^{14}$ ,  $-NR^{13}C(O)R^{14}$ ,  $-NR^{13}C(O)OR^{14}$  and  $-SO_2R^{20}$  (wherein R<sup>20</sup> is alkyl, aryl, aralkyl, heteroaryl and heteroaralkyl);

R<sup>4</sup> is selected from the group consisting of hydrogen, halogen, alkyl, hydroxy, alkoxy and  $-NR^{13}R^{14}$ ;

R<sup>5</sup> is selected from the group consisting of hydrogen, alkyl and  $-C(O)R^{10}$ ;

R<sup>6</sup> is selected from the group consisting of hydrogen, alkyl and  $-C(O)R^{10}$ ;

R<sup>7</sup> is selected from the group consisting of hydrogen,

alkyl, aryl, heteroaryl,  $-C(O)R^{17}$  and  $-C(O)R^{10}$ ; or

$R^6$  and  $R^7$  may combine to form a group selected from the group consisting of  $-(CH_2)_4-$ ,  $-(CH_2)_5-$  and  $-(CH_2)_6-$ ;

with the proviso that at least one of  $R^5$ ,  $R^6$  or  $R^7$  must be

$-C(O)R^{10}$ ;

$R^8$  and  $R^9$  are independently selected from the group consisting of hydrogen, alkyl and aryl;

$R^{10}$  is selected from the group consisting of hydroxy, alkoxy, aryloxy,  $-N(R^{11})(CH_2)_nR^{12}$ , and  $-NR^{13}R^{14}$ ;

$R^{11}$  is selected from the group consisting of hydrogen and alkyl;

$R^{12}$  is selected from the group consisting of  $-NR^{13}R^{14}$ , hydroxy,  $-C(O)R^{15}$ , aryl, heteroaryl,  $-N^+(O^-)R^{13}R^{14}$ ,  $-N(OH)R^{13}$ , and  $-NHC(O)R^a$  (wherein  $R^a$  is unsubstituted alkyl, haloalkyl, or aralkyl);

$R^{13}$  and  $R^{14}$  are independently selected from the group consisting of hydrogen, alkyl, lower alkyl substituted with hydroxyalkylamino, cyanoalkyl, cycloalkyl, aryl and heteroaryl; or

$R^{13}$  and  $R^{14}$  may combine to form a heterocyclo group;

$R^{15}$  is selected from the group consisting of hydrogen, hydroxy, alkoxy and aryloxy;

$R^{16}$  is selected from the group consisting of hydroxy,  $-C(O)R^{15}$ ,  $-NR^{13}R^{14}$  and  $-C(O)NR^{13}R^{14}$ ;

$R^{17}$  is selected from the group consisting of alkyl, cycloalkyl, aryl and heteroaryl;

$R^{20}$  is alkyl, aryl, aralkyl or heteroaryl; and

$n$  and  $r$  are independently 1, 2, 3, or 4;

or a pharmaceutically acceptable salt thereof.

2. The compound or salt of Claim 1 wherein:

$R^1$  is selected from the group consisting of hydrogen, halo, alkyl, cycloalkyl, aryl, heteroaryl, heteroalicyclic, hydroxy, alkoxy,  $-C(O)R^{15}$ ,  $-NR^{13}R^{14}$ ,  $-(CH_2)_rR^{16}$  and  $-C(O)NR^8R^9$ ;

$R^2$  is selected from the group consisting of hydrogen, halo, alkyl, trihalomethyl, hydroxy, alkoxy,  $-NR^{13}R^{14}$ , -

NR<sup>13</sup>C(O)R<sup>14</sup>, -C(O)R<sup>15</sup>, aryl, heteroaryl, and -S(O)<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>;

R<sup>3</sup> is selected from the group consisting of hydrogen, halogen, alkyl, trihalomethyl, hydroxy, alkoxy, -(CO)R<sup>15</sup>, -NR<sup>13</sup>R<sup>14</sup>, aryl, heteroaryl, -NR<sup>13</sup>S(O)<sub>2</sub>R<sup>14</sup>, -S(O)<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>, -

5 NR<sup>13</sup>C(O)R<sup>14</sup>, and -NR<sup>13</sup>C(O)OR<sup>14</sup>;

R<sup>4</sup> is selected from the group consisting of hydrogen, halogen, alkyl, hydroxy, alkoxy and -NR<sup>13</sup>R<sup>14</sup>;

R<sup>5</sup> is selected from the group consisting of hydrogen, alkyl and -C(O)R<sup>10</sup>;

10 R<sup>6</sup> is selected from the group consisting of hydrogen, alkyl and -C(O)R<sup>10</sup>;

R<sup>7</sup> is selected from the group consisting of hydrogen, alkyl, aryl, heteroaryl, -C(O)R<sup>17</sup> and -C(O)R<sup>10</sup>;

5 R<sup>6</sup> and R<sup>7</sup> may combine to form a group selected from the group consisting of -(CH<sub>2</sub>)<sub>4</sub>-, -(CH<sub>2</sub>)<sub>5</sub>- and -(CH<sub>2</sub>)<sub>6</sub>-; with the proviso that at least one of R<sup>5</sup>, R<sup>6</sup> or R<sup>7</sup> must be -C(O)R<sup>10</sup>;

R<sup>8</sup> and R<sup>9</sup> are independently selected from the group consisting of hydrogen, alkyl and aryl;

10 R<sup>10</sup> is selected from the group consisting of hydroxy, alkoxy, aryloxy, -N(R<sup>11</sup>)(CH<sub>2</sub>)<sub>n</sub>R<sup>12</sup> and -NR<sup>13</sup>R<sup>14</sup>;

R<sup>11</sup> is selected from the group consisting of hydrogen and alkyl;

25 R<sup>12</sup> is selected from the group consisting of -NR<sup>13</sup>R<sup>14</sup>, hydroxy, -C(O)R<sup>15</sup>, aryl and heteroaryl;

R<sup>13</sup> and R<sup>14</sup> are independently selected from the group consisting of hydrogen, alkyl, cycloalkyl, aryl and heteroaryl;

30 R<sup>13</sup> and R<sup>14</sup> may combine to form a group selected from the group consisting of -(CH<sub>2</sub>)<sub>4</sub>-, -(CH<sub>2</sub>)<sub>5</sub>-, -(CH<sub>2</sub>)<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>-, and -(CH<sub>2</sub>)<sub>2</sub>N(CH<sub>3</sub>)(CH<sub>2</sub>)<sub>2</sub>-;

R<sup>15</sup> is selected from the group consisting of hydrogen, hydroxy, alkoxy and aryloxy;

35 R<sup>16</sup> is selected from the group consisting of hydroxy, -C(O)R<sup>15</sup>, -NR<sup>13</sup>R<sup>14</sup> and -C(O)NR<sup>13</sup>R<sup>14</sup>;

R<sup>17</sup> is selected from the group consisting of alkyl,

cycloalkyl, aryl and heteroaryl; and

n and r are independently 1, 2, 3, or 4;  
or a pharmaceutically acceptable salt thereof.

3. The compound or salt of Claim 1 wherein  $R^5$  is  $-\text{COR}^{10}$   
wherein  $R^{10}$  is  $-\text{NR}^{11}(\text{CH}_2)_n\text{R}^{12}$  wherein:

$R^{11}$  is hydrogen or lower unsubstituted alkyl;

n is 2 or 3; and

$R^{12}$  is  $-\text{NR}^{13}\text{R}^{14}$  wherein  $R^{13}$  and  $R^{14}$  are independently  
unsubstituted lower alkyl.

4. The compound or salt of Claim 1 wherein  $R^5$  is  $-\text{COR}^{10}$   
wherein  $R^{10}$  is  $-\text{NR}^{11}(\text{CH}_2)_n\text{R}^{12}$  wherein:

$R^{11}$  is hydrogen or lower unsubstituted alkyl;

n is 2 or 3; and

$R^{12}$  is  $-\text{NR}^{13}\text{R}^{14}$  wherein  $R^{13}$  and  $R^{14}$  combine to form a  
group selected from  $-(\text{CH}_2)_4-$ ,  $-(\text{CH}_2)_5-$ ,  $-(\text{CH}_2)_2\text{O}-(\text{CH}_2)_2-$  or  
 $-(\text{CH}_2)_2\text{N}(\text{CH}_3)(\text{CH}_2)_2-$ .

5. The compound of Claim 1 wherein  $R^5$  is N-(2-dimethylamino-  
ethyl)aminocarbonyl, N-(2-diethylaminoethyl)-N-methyl-  
aminocarbonyl, N-(3-dimethylaminopropyl)aminocarbonyl, N-  
(2-diethylaminoethyl)aminocarbonyl, N-(3-  
ethylaminopropyl)-aminocarbonyl, N-(2-  
ethylaminoethyl)aminocarbonyl, or N-(3-  
diethylaminopropyl)aminocarbonyl.

6. The compound of Claim 1 wherein  $R^5$  is N-(2-diethyl-  
aminoethyl)aminocarbonyl or N-(2-ethylaminoethyl)amino-  
carbonyl.

7. The compound of Claim 1 wherein  $R^5$  is 3-pyrrolidin-1-  
ylpropylaminocarbonyl, 3-morpholin-4-ylpropylamino-  
carbonyl, 2-pyrrolidin-1-ylethylaminocarbonyl, 2-  
morpholin-4-yl-ethylaminocarbonyl, 2-(4-methylpiperazin-  
1-yl)ethyl-aminocarbonyl, 2-(3,5-dimethylpiperazin-1-

yl)ethyl-aminocarbonyl, 3-(4-methylpiperazin-1-yl)propylamino-carbonyl or 3-(3,5-dimethylpiperazin-1-yl)propylamino-carbonyl.

- 5 8. The compound or salt of Claim 1 wherein  $R^6$  is  $-\text{COR}^{10}$  wherein  $R^{10}$  is  $-\text{NR}^{11}(\text{CH}_2)_n\text{R}^{12}$  wherein:  
     $R^{11}$  is hydrogen or lower unsubstituted alkyl;  
     $n$  is 2 or 3; and  
     $R^{12}$  is  $-\text{NR}^{13}\text{R}^{14}$  wherein  $R^{13}$  and  $R^{14}$  are independently  
10 unsubstituted lower alkyl.

- 15 Sub 02 9. The compound or salt of Claim 1 wherein  $R^6$  is  $-\text{COR}^{10}$  wherein  $R^{10}$  is  $-\text{NR}^{11}(\text{CH}_2)_n\text{R}^{12}$  wherein:  
     $R^{11}$  is hydrogen or lower unsubstituted alkyl;  
     $n$  is 2 or 3; and  
     $R^{12}$  is  $-\text{NR}^{13}\text{R}^{14}$  wherein  $R^{13}$  and  $R^{14}$  combine to form a  
group selected from  $-(\text{CH}_2)_4-$ ,  $-(\text{CH}_2)_5-$ ,  $-(\text{CH}_2)_2\text{-O-}(\text{CH}_2)_2-$  or  
     $-(\text{CH}_2)_2\text{N}(\text{CH}_3)(\text{CH}_2)_2-$ .

- 20 10. The compound or salt of Claim 1 wherein  $R^6$  is N-(2-dimethylamino-ethyl)aminocarbonyl, N-(2-diethylaminoethyl)-N-methylaminocarbonyl, N-(3-dimethylamino-propyl)-aminocarbonyl, N-(2-diethylaminoethyl)-aminocarbonyl, N-(2-ethylaminoethyl)-aminocarbonyl, N-(3-ethylaminopropyl)-aminocarbonyl, or N-(3-diethylamino-propyl)aminocarbonyl.  
25

- 30 11. The compound or salt of Claim 1 wherein  $R^6$  is N-(2-diethylaminoethyl)aminocarbonyl or N-(2-ethylaminoethyl)aminocarbonyl.

- 35 Sub 03 12. The compound or salt of Claim 1 wherein  $R^6$  is 3-pyrrolidin-1-ylpropylaminocarbonyl, 3-morpholin-4-ylpropylamino-carbonyl, 2-pyrrolidin-1-ylethylamino-carbonyl, 2-morpholin-4-ylethylaminocarbonyl, 2-(4-methylpiperazin-1-yl)ethyl-aminocarbonyl, 2-(3,5-

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a3  
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dimethylpiperazin-1-yl)ethyl-aminocarbonyl, 3-(4-methylpiperazin-1-yl)propylamino-carbonyl or 3-(3,5-dimethylpiperazin-1-yl)propylamino-carbonyl.

5 13. The compound or salt of Claim 1 wherein  $R^5$  is  $-\text{COR}^{10}$  wherein  $R^{10}$  is  $-\text{NR}^{13}\text{R}^{14}$  wherein  $R^{13}$  is hydrogen and  $R^{14}$  is lower alkyl substituted with hydroxy, aryl, heteroalicyclic, heteroaryl, or carboxy.

10 14. The compound or salt of Claim 1 wherein  $R^5$  is 3-triazin-1-ylpropylaminocarbonyl, 2-triazin-1-ylethylaminocarbonyl, 3-imidazol-1-ylpropylaminocarbonyl, pyridin-4-ylmethylaminocarbonyl, 2-pyridin-2-ylethylaminocarbonyl or 2-imidazol-1-yl ethylaminocarbonyl.

15 15. The compound or salt of Claim 1 wherein  $R^6$  is  $-\text{COR}^{10}$  wherein  $R^{10}$  is  $-\text{NR}^{13}\text{R}^{14}$  wherein  $R^{13}$  is hydrogen and  $R^{14}$  is lower alkyl substituted with hydroxy, aryl, heteroalicyclic, heteroaryl, or carboxy.

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20 16. The compound or salt of Claim 1 wherein  $R^6$  is 2-triazin-1-ylpropylaminocarbonyl, 2-triazin-1-ylethylaminocarbonyl, 3-imidazol-1-ylpropylaminocarbonyl, pyridin-4-ylmethylaminocarbonyl, 2-pyridin-2-ylethylaminocarbonyl or 2-imidazol-1-yl ethylaminocarbonyl.

25 17. The compound or salt of Claim 1 wherein  $R^5$  is  $-\text{COR}^{10}$  wherein  $R^{10}$  is  $-\text{NR}^{11}(\text{CH}_2)_n\text{R}^{12}$  wherein:  
     $R^{11}$  is hydrogen or lower unsubstituted alkyl;  
     $n$  is 2 or 3; and  
     $R^{12}$  is  $-\text{NR}^{13}\text{R}^{14}$  wherein  $R^{13}$  and  $R^{14}$  together combine to form a heterocycle.

30 18. The compound or salt of Claim 1 wherein  $R^5$  is  $-\text{COR}^{10}$  wherein  $R^{10}$  is  $-\text{NR}^{11}(\text{CH}_2)_n\text{R}^{12}$  wherein:  
     $R^{11}$  is hydrogen or lower unsubstituted alkyl;

35

n is 2 or 3; and

$R^{12}$  is  $-NR^{13}R^{14}$  wherein  $R^{13}$  and  $R^{14}$  together combine to form a 5, 6 or 7 atom heterocycle containing a carbonyl group and one or two nitrogen atoms within the ring.

5  
19. The compound or salt of Claim 1 wherein  $R^5$  is 2-(3-oxopiperazin-1-yl)ethylaminocarbonyl, 2-(imidazolidin-1-yl-2-one)ethylaminocarbonyl, 2-(tetrahydropyrimidin-1-yl-2-one)ethylaminocarbonyl, 2-(2-oxopyrrolidin-1-yl)-ethylaminocarbonyl, 3-(3-oxopiperazin-1-yl)propylaminocarbonyl, 3-(imidazolidin-1-yl-2-one)propylaminocarbonyl, 3-(tetrahydropyrimidin-1-yl-2-one)-propylaminocarbonyl, or 3-(2-oxopyrrolidin-1-yl)propylaminocarbonyl.

15  
20. The compound or salt of Claim 1 wherein  $R^6$  is  $-COR^{10}$  wherein  $R^{10}$  is  $-NR^{11}(CH_2)_nR^{12}$  wherein:

$R^{11}$  is hydrogen or lower unsubstituted alkyl;

n is 2 or 3; and

20  
 $R^{12}$  is  $-NR^{13}R^{14}$  wherein  $R^{13}$  and  $R^{14}$  together combine to form a heterocycle.

25  
21. The compound or salt of Claim 1 wherein  $R^6$  is  $-COR^{10}$  wherein  $R^{10}$  is  $-NR^{11}(CH_2)_nR^{12}$  wherein:

$R^{11}$  is hydrogen or lower unsubstituted alkyl;

n is 2 or 3; and

30  
 $R^{12}$  is  $-NR^{13}R^{14}$  wherein  $R^{13}$  and  $R^{14}$  together combine to form a 5, 6 or 7 atom heterocycle containing a carbonyl group and one or two nitrogen atoms within the ring.

35  
22. The compound or salt of Claim 1 wherein  $R^6$  is 2-(3-oxopiperazin-1-yl)ethylaminocarbonyl, 2-(imidazolidin-1-yl-2-one)ethylaminocarbonyl, 2-(tetrahydropyrimidin-1-yl-2-one)ethylaminocarbonyl, 2-(2-oxopyrrolidin-1-yl)-ethylaminocarbonyl, 3-(3-oxopiperazin-1-yl)propylaminocarbonyl, 3-(imidazolidin-1-yl-2-one)propyl-

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aminocarbonyl, 3-(tetrahydropyrimidin-1-yl-2-one)-  
propylaminocarbonyl, or 3-(2-oxopyrrolidin-1-yl)propyl-  
aminocarbonyl.

5 23. The compound or salt of any one of Claims 3-7, 13-14 or  
17-19 wherein:

$R^6$  is selected from the group consisting of hydrogen  
and lower unsubstituted alkyl; and

10  $R^7$  is selected from the group consisting of hydrogen,  
alkyl, aryl, heteroaryl, and  $-C(O)R^{17}$  wherein  $R^{17}$  is  
hydroxy, unsubstituted lower alkyl or aryl.

24. The compound or salt of Claim 23 wherein:

5  $R^6$  is selected from the group consisting of hydrogen,  
and methyl; and

$R^7$  is selected from the group consisting of methyl,  
hydrogen and phenyl.

25. The compound or salt of any of the Claims 8-12, 15, 16,  
or 20-22 wherein:

10  $R^5$  is selected from the group consisting of hydrogen  
and unsubstituted lower alkyl; and

25  $R^7$  is selected from the group consisting of hydrogen,  
alkyl, aryl, heteroaryl, and  $-C(O)R^{17}$ , wherein  $R^{17}$  is  
hydroxy, unsubstituted lower alkyl or aryl.

26. The compound or salt of Claim 25 wherein:

$R^6$  is selected from the group consisting of hydrogen,  
or methyl; and

30  $R^7$  is selected from the group consisting of methyl,  
hydrogen or phenyl.

27. The compound or salt of Claim 23 wherein:

35  $R^1$  is hydrogen, unsubstituted lower alkyl, -  
 $C(O)NR^8R^9$ , unsubstituted cycloalkyl or aryl;

$R^2$  is hydrogen, halo, lower alkoxy, cyano, aryl, or -



5 S(O)<sub>2</sub>NR<sup>13</sup>R<sup>14</sup> wherein R<sup>13</sup> is hydrogen and R<sup>14</sup> is hydrogen,  
aryl or alkyl; R<sup>3</sup> is selected from the group consisting  
of hydrogen, lower alkoxy, -C(O)R<sup>15</sup>, -NR<sup>13</sup>C(O)R<sup>14</sup>, aryl  
optionally substituted with one or two substituents  
selected from the group consisting of lower alkyl, halo,  
or lower alkoxy, and heteroaryl; and  
R<sup>4</sup> is hydrogen.

10 28. The compound or salt of Claim 23 wherein:

R<sup>1</sup> is hydrogen or phenyl;

R<sup>2</sup> is hydrogen, chloro, bromo, fluoro, methoxy,  
ethoxy, phenyl, cyano, dimethylaminosulfonyl, 3-  
chlorophenyl-aminosulfonyl, carboxy, methoxy,  
aminosulfonyl, methylaminosulfonyl, methylsulfonyl  
ethylsulfonyl, benzylsulfonyl, phenylaminosulfonyl,  
pyridin-3-yl-aminosulfonyl, dimethylaminosulfonyl, or  
isopropylamino-sulfonyl;

R<sup>3</sup> is hydrogen, methoxy, carboxy, phenyl, pyridin-3-  
yl, 3,4-dichlorophenyl, 2-methoxy-5-isopropylphenyl, 4-n-  
butylphenyl, or 3-isopropylphenyl; and

R<sup>4</sup> is hydrogen.

20 29. The compound or salt of Claim 23 wherein:

R<sup>1</sup> is hydrogen;

25 R<sup>2</sup> is hydrogen, cyano, fluoro, chloro, or bromo;

R<sup>3</sup> is hydrogen; and

R<sup>4</sup> is hydrogen.

30 30. The compound or salt of Claim 25 wherein:

R<sup>1</sup> is hydrogen, unsubstituted lower alkyl, -  
C(O)NR<sup>8</sup>R<sup>9</sup>, unsubstituted cycloalkyl or aryl;

R<sup>2</sup> is hydrogen, halo, lower alkoxy, cyano, aryl, -  
SO<sub>2</sub>R<sup>20</sup>, or -S(O)<sub>2</sub>NR<sup>13</sup>R<sup>14</sup> wherein R<sup>13</sup> is hydrogen and R<sup>14</sup> is  
hydrogen, aryl or alkyl;

35 R<sup>3</sup> is selected from the group consisting of hydrogen,  
lower alkoxy, -C(O)R<sup>15</sup>, -NR<sup>13</sup>C(O)R<sup>14</sup>, aryl and heteroaryl;

and

$R^4$  is hydrogen.

31. The compound or salt of Claim 25 wherein:

$R^1$  is hydrogen or phenyl;

$R^2$  is hydrogen, chloro, bromo, fluoro, methoxy, ethoxy, phenyl, dimethylaminosulfonyl, cyano, methylsulfonyl, ethylsulfonyl, benzylsulfonyl, 3-chlorophenyl-aminosulfonyl, carboxy, methoxy, aminosulfonyl, methylaminosulfonyl, phenylaminosulfonyl, pyridin-3-yl-aminosulfonyl, dimethylaminosulfonyl, or isopropylamino-sulfonyl;

$R^3$  is hydrogen, methoxy, carboxy, phenyl, pyridin-3-yl, 3,4-dichlorophenyl, 2-methoxy-5-isopropylphenyl, 4-n-butylphenyl, 3-isopropylphenyl; and

$R^4$  is hydrogen.

32. The compound or salt of Claim 25 wherein:

$R^1$  is hydrogen;

$R^2$  is hydrogen, cyano, fluoro, chloro, or bromo;

$R^3$  is phenyl; and

$R^4$  is hydrogen.

33. The compound or salt of Claim 1 wherein:

$R^1$  is hydrogen, unsubstituted lower alkyl, -C(O)NR<sup>8</sup>R<sup>9</sup>, unsubstituted cycloalkyl or aryl;

$R^2$  is hydrogen, halo, lower alkoxy, cyano, aryl or -S(O)<sub>2</sub>NR<sup>13</sup>R<sup>14</sup> wherein  $R^{13}$  is hydrogen and  $R^{14}$  is hydrogen, aryl or alkyl;  $R^3$  is selected from the group consisting of hydrogen, lower alkoxy, -C(O)R<sup>15</sup>, -NR<sup>13</sup>C(O)R<sup>14</sup>, aryl, and heteroaryl; and

$R^4$  is hydrogen.

34. The compound or salt of Claim 1 wherein:

$R^1$  is hydrogen, or methyl;

$R^2$  is hydrogen, cyano, chloro, fluoro, or bromo;

$R^3$  is selected from the group consisting of hydrogen or phenyl; and

$R^4$  is hydrogen.

5 35. The compound or salt of Claim 33 or 34 wherein:

$R^5$  is  $-\text{COR}^{10}$ ;

$R^6$  is selected from the group consisting of hydrogen and unsubstituted lower alkyl; and

10  $R^7$  is selected from the group consisting of hydrogen, alkyl, aryl, heteroaryl, and  $-\text{C}(\text{O})\text{R}^{17}$  wherein  $\text{R}^{17}$  is hydroxy, unsubstituted lower alkyl or aryl.

15 36. The compound or salt of Claim 33 or 34 wherein:

$R^6$  is  $-\text{COR}^{10}$ ;

$R^5$  is selected from the group consisting of hydrogen and unsubstituted lower alkyl; and

20  $R^7$  is selected from the group consisting of hydrogen, alkyl, aryl, heteroaryl, and  $-\text{C}(\text{O})\text{R}^{17}$  wherein  $\text{R}^{17}$  is hydroxy, unsubstituted lower alkyl or aryl.

25 37. The compound or salt of Claim 1 wherein  $R^5$  is  $-\text{COR}^{10}$  wherein  $\text{R}^{10}$  is  $-\text{NR}^{13}\text{R}^{14}$  wherein  $\text{R}^{13}$  is hydrogen and  $\text{R}^{14}$  is lower alkyl substituted with hydroxy, lower alkyl substituted with hydroxyalkylamino, carboxy, or  $-\text{NR}^{18}\text{R}^{19}$  wherein  $\text{R}^{18}$  and  $\text{R}^{19}$  are independently hydrogen or lower unsubstituted alkyl.

30 38. The compound or salt of Claim 1 wherein  $R^5$  is 2-[(diethylamino)-2-hydroxyethyl]aminocarbonyl, 2-(N-ethyl-N-2-hydroxyethylamino)ethylaminocarbonyl, carboxymethylamino-carbonyl, or 2-hydroxyethylamino-carbonyl.

35 39. The compound or salt of Claim 1 wherein  $R^6$  is  $-\text{COR}^{10}$  wherein  $\text{R}^{10}$  is  $-\text{NR}^{13}\text{R}^{14}$  wherein  $\text{R}^{13}$  is hydrogen and  $\text{R}^{14}$  is lower alkyl substituted with hydroxy, lower alkyl

substituted with hydroxyalkylamino, carboxy, or  $-NR^{18}R^{19}$  wherein  $R^{18}$  and  $R^{19}$  are independently hydrogen or lower unsubstituted alkyl.

5 40. The compound or salt of Claim 1 wherein  $R^6$  is [2-(diethylamino)-2-hydroxy]ethylaminocarbonyl, 2-(N-ethyl-N-2-hydroxyethylamino)ethylaminocarbonyl, carboxymethylaminocarbonyl, or 2-hydroxyethylaminocarbonyl.

10 41. The compound of Claim 1 wherein  $R^5$  is  $-COR^{10}$  wherein  $R^{10}$  is  $-NR^{11}(CH_2)_nR^{12}$  wherein  $R^{12}$  is  $-N^+(O^-)NR^{13}R^{14}$  or  $-N(OH)R^{13}$  wherein  $R^{13}$  and  $R^{14}$  are independently selected from the group consisting of unsubstituted lower alkyl.

15 42. The compound of Claim 1 wherein  $R^5$  is 2-(N-hydroxy-N-ethylamino)ethylaminocarbonyl or 2-[ $N^+(O^-)(C_2H_5)_2$ ]ethylaminocarbonyl

20 43. The compound of Claim 1 wherein  $R^6$  is  $-COR^{10}$  wherein  $R^{10}$  is  $-NR^{11}(CH_2)_nR^{12}$  wherein  $R^{12}$  is  $-N^+(O^-)NR^{13}R^{14}$  or  $-N(OH)R^{13}$  wherein  $R^{13}$  and  $R^{14}$  are independently selected from the group consisting of unsubstituted lower alkyl.

25 44. The compound of Claim 1 wherein  $R^6$  is 2-(N-hydroxy-N-ethylamino)ethylaminocarbonyl or 2-[ $N^+(O^-)(C_2H_5)_2$ ]ethylaminocarbonyl.

30 45. The compound or salt of Claim 37, 38, 41 or 42 wherein:  
 $R^6$  is selected from the group consisting of hydrogen, or methyl, and  
 $R^7$  is selected from the group consisting of methyl, hydrogen or phenyl.

35 46. The compound or salt of any of the Claims 39, 40, 43, 44 or 20-22 wherein:

R<sup>5</sup> is selected from the group consisting of hydrogen, or methyl; and

R<sup>7</sup> is selected from the group consisting of methyl, hydrogen or phenyl.

47. The compound or salt of Claim 45 wherein:

R<sup>1</sup> is hydrogen;

R<sup>2</sup> is hydrogen, cyano, chloro, fluoro, or bromo;

R<sup>3</sup> is hydrogen; and

R<sup>4</sup> is hydrogen.

48. The compound or salt of Claim 46 wherein:

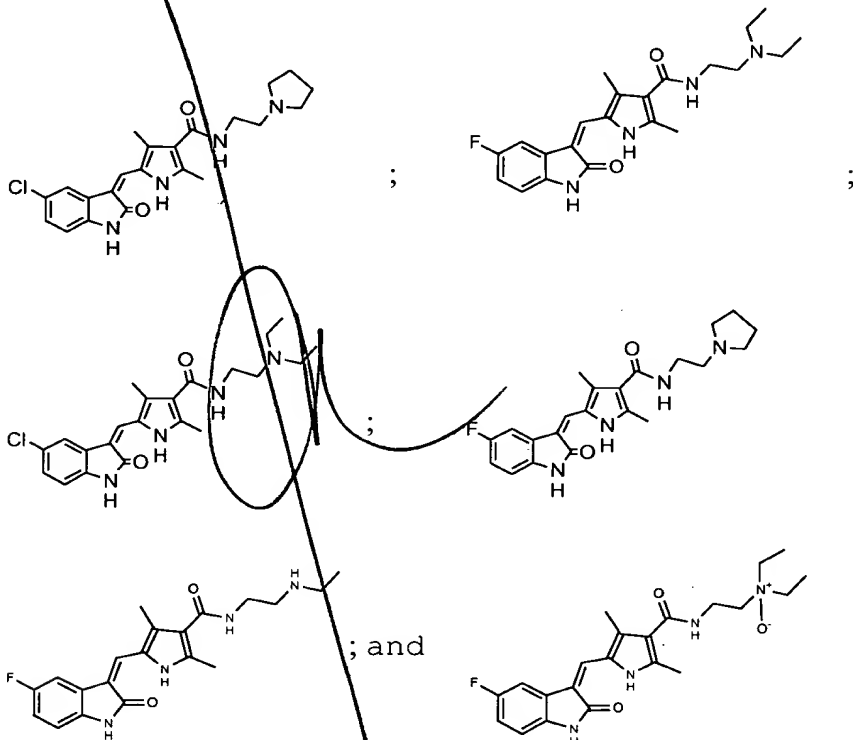
R<sup>1</sup> is hydrogen;

R<sup>2</sup> is cyano, chloro, fluoro, or bromo;

R<sup>3</sup> is hydrogen; and

R<sup>4</sup> is hydrogen.

49. The compound or salt of Claim 1, wherein the compound is selected from the group consisting of:



or an L-malate salt thereof.

50. A pharmaceutical composition, comprising a compound or salt of Claim 1 and, a pharmaceutically acceptable carrier or excipient.

5 <sup>Sub 09</sup> 51. A pharmaceutical composition, comprising a compound or salt of Claim 49 and, a pharmaceutically acceptable carrier or excipient.

10 52. A method for the modulation of the catalytic activity of a protein kinase comprising contacting said protein kinase with a compound or salt of Claim 1 or 49.

15 <sup>23</sup> ~~53~~. The method of Claim <sup>22</sup> ~~52~~ wherein said protein kinase is selected from the group consisting of a receptor tyrosine kinase, a non-receptor tyrosine kinase and a serine-threonine kinase.

20 <sup>24</sup> ~~54~~. A method for treating or preventing a protein kinase related disorder in an organism comprising administering a therapeutically effective amount of a pharmaceutical composition comprising a compound or salt of Claim <sup>20</sup> ~~50~~ or Claim <sup>21</sup> ~~51~~ and, a pharmaceutically acceptable carrier or excipient to said organism.

25 <sup>25</sup> ~~55~~. The method of Claim <sup>24</sup> ~~54~~ wherein said protein kinase related disorder is selected from the group consisting of a receptor tyrosine kinase related disorder, a non-receptor tyrosine kinase related disorder and a serine-threonine kinase related disorder.

30 <sup>26</sup> ~~56~~. The method of Claim <sup>24</sup> ~~54~~ wherein said protein kinase related disorder is selected from the group consisting of an EGFR related disorder, a PDGFR related disorder, an IGFR related disorder and a flk related disorder.

35 <sup>27</sup> ~~57~~. The method of Claim <sup>24</sup> ~~54~~ wherein said protein kinase

related disorder is a cancer selected from the group consisting of squamous cell carcinoma, astrocytoma, Kaposi's sarcoma, glioblastoma, lung cancer, bladder cancer, head and neck cancer, melanoma, ovarian cancer, prostate cancer, breast cancer, small-cell lung cancer, glioma, colorectal cancer, genitourinary cancer and gastrointestinal cancer.

~~28~~

~~58.~~

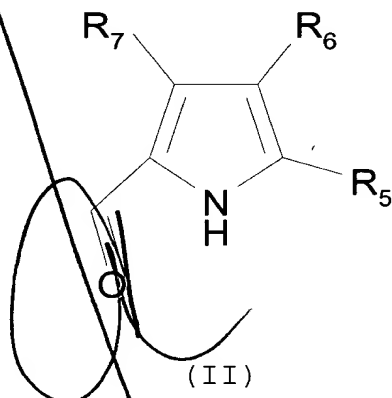
<sup>24</sup>  
The method of Claim ~~54~~ wherein said protein kinase related disorder is selected from the group consisting of diabetes, an autoimmune disorder, a hyperproliferation disorder, restenosis, fibrosis, psoriasis, von Heppel-Lindau disease, osteoarthritis, rheumatoid arthritis, angiogenesis, an inflammatory disorder, an immunological disorder and a cardiovascular disorder.

~~29~~

~~59.~~

<sup>24</sup>  
The method of Claim ~~54~~ wherein said organism is a human.

60. An intermediate of Formula (II):



wherein:

R<sup>5</sup> is selected from the group consisting of hydrogen, alkyl and -C(O)R<sup>10</sup>;

R<sup>6</sup> is selected from the group consisting of hydrogen, alkyl and -C(O)R<sup>10</sup>;

R<sup>7</sup> is selected from the group consisting of hydrogen,

alkyl, aryl, heteroaryl,  $-C(O)R^{17}$  and  $-C(O)R^{10}$ ;

$R^6$  and  $R^7$  may combine to form a group selected from the group consisting of  $-(CH_2)_4-$ ,  $-(CH_2)_5-$  and  $-(CH_2)_6-$ ;

with the proviso that at least one of  $R^5$ ,  $R^6$  or  $R^7$  must be

5  $-C(O)R^{10}$ ;

$R^{10}$  is selected from the group consisting of hydroxy, alkoxy, aryloxy,  $-N(R^{11})(CH_2)_nR^{12}$  and  $-NR^{13}R^{14}$ ;

$R^{11}$  is selected from the group consisting of hydrogen and alkyl;

10  $R^{12}$  is selected from the group consisting of  $-NR^{13}R^{14}$ , hydroxy,  $-C(O)R^{15}$ , aryl and heteroaryl;

$R^{13}$  and  $R^{14}$  are independently selected from the group consisting of hydrogen, alkyl, cyanoalkyl, cycloalkyl, aryl and heteroaryl; or

15  $R^{13}$  and  $R^{14}$  may combine to form a heterocyclo group;

$R^{15}$  is selected from the group consisting of hydrogen, hydroxy, alkoxy and aryloxy;

$R^{17}$  is selected from the group consisting of alkyl, cycloalkyl, aryl and heteroaryl; and

20 n is 1, 2, 3, or 4.

add  
a10